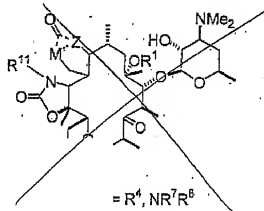
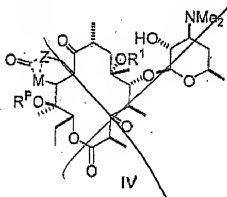
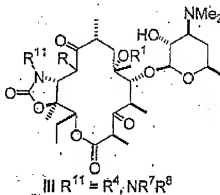
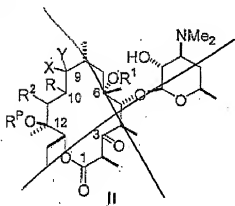


IN THE CLAIMS

1-5. (Cancelled)

6. (Currently Amended) A 10-desmethyl macrolide comprising Maerolides as claimed in claim 1 of formula II, III, IV or V



(1) R is methyl substituted with one or more substituents selected from the group consisting of

(i) CN,

(ii) F,

(iii) CO_2R^3 wherein R^3 is selected from hydrogen, C_1 - C_3 -alkyl or aryl substituted C_1 - C_3 -alkyl, or heteroaryl substituted C_1 - C_3 -alkyl,

(iv) OR^4 wherein R^4 is selected from hydrogen, C_1 - C_4 -alkyl or aryl substituted C_1 - C_4 -alkyl, or heteroaryl substituted C_1 - C_4 -alkyl, heterocycloalkyl and optionally substituted cycloalkyl, C_1 - C_3 -alkoxy- C_1 - C_3 -alkoxy, C_2 - C_4 -alkenyl or aryl substituted C_2 - C_4 -alkenyl, or heteroaryl substituted

C₂-C₄-alkenyl, heterocycloalkyl and optionally substituted cycloalkyl, aryl or optionally substituted aryl, heteroaryl or optionally substituted heteroaryl,

- (v) S(O)_nR³ wherein n = 0, 1 or 2 and R³ is as previously defined
- (vi) NR⁴C(O)R³ wherein R³ and R⁴ are as previously defined
- (vii) NR⁴C(O)NR⁵R⁶ wherein R⁴ is defined as defined previously, and R⁵ and R⁶ are independently selected from hydrogen, C₁-C₃-alkyl, C₁-C₃ alkyl substituted with aryl, substituted aryl, heteroaryl, substituted heteroaryl
- (viii) NR⁷R⁸ wherein R⁷ and R⁸ are independently selected from the group consisting of
 - (a) hydrogen
 - (b) C₁-C₁₂-alkyl, and optionally substituted C₁-C₁₂-alkyl
 - (c) C₂-C₁₂-alkenyl, and optionally substituted C₂-C₁₂-alkenyl
 - (d) C₂-C₁₂-alkynyl, and optionally substituted C₂-C₁₂-alkynyl
 - (e) aryl, and optionally substituted aryl
 - (f) heteroaryl, and optionally substituted heteroaryl
 - (g) heterocycloalkyl, and optionally substituted heterocycloalkyl
 - (h) C₁-C₁₂ alkyl substituted with aryl, and optionally substituted with substituted aryl
 - (i) C₁-C₁₂ alkyl substituted with heteroaryl, and optionally substituted with substituted heteroaryl
 - (j) C₁-C₁₂ alkyl substituted with heterocycloalkyl, and with optionally substituted heterocycloalkyl, and
 - (k) R⁷ and R⁸ taken together with the atom to which they are attached from a 3-10- membered heterocycloalkyl ring which may contain one or more additional heteroatoms and may be substituted with one or more substituents independently selected from the group consisting of
 - (aa) halogen, hydroxy, C₁-C₃-alkoxy, alkoxy-C₁-C₃- alkoxy, oxo, C₁-C₃-alkyl, aryl and optionally substituted aryl, heteroaryl and optional substituted heteroaryl
 - (bb) CO₂R³ wherein R³ is as previously defined, and
 - (cc) C(O)NR⁵R⁶ wherein R⁵ and R⁶ are as previously defined,
- (ix) aryl, and optionally substituted aryl, and
- (x) heteroaryl, and optionally substituted heteroaryl,
- (2) C₂-C₁₀-alkyl,
- (3) C₂-C₁₀-alkyl substituted with one or more substituents selected from the group consisting of
 - (i) halogen,
 - (ii) OR⁴ wherein R⁴ is as defined previously
 - (iii)-CHO,
 - (iv) oxo,
 - (v) NR⁷R⁸ wherein R⁷ and R⁸ are defined as previously

- (vi) $=N-O-R^4$ is wherein R^3 is as previously defined
- (vii)-CN
- (viii)- $S(O)_nR^3$ wherein $n = 0, 1$ or 2 and R^3 is as previously defined
- (ix) aryl, and optionally substituted aryl
- (x) heteroaryl, and optionally substituted heteroaryl
- (xi) C_3-C_8 -cycloalkyl, and optionally substituted C_3-C_8 -cycloalkyl
- (xii) heterocycloalkyl, and optionally substituted heterocycloalkyl
- (xiii) $NR^4C(O)R^3$ where R^3 and R^4 are as previously defined
- (xiv) $NR^4C(O)NR^5R^6$ wherein R^4 , R^5 and R^6 are as previously defined
- (xv) $=N-NR^7R^8$ wherein R^7 and R^8 are as previously defined
- (xvi) $=N-R^4$ wherein R^4 is as previously defined
- (xvii) $=N-NR^4C(O)R^3$ wherein R^3 and R^4 are as previously defined, and
- (xviii) $=N-NR^4C(O)NR^5R^6$ wherein R^4 , R^5 and R^6 are as previously defined,
- (4) C_2-C_{10} -alkenyl,
- (5) C_2-C_{10} -alkenyl substituted with one or more substituents selected from the group consisting of
 - (i) halogen,
 - (ii) OR^4 wherein R^4 is as previously defined
 - (iii) $O-S(O)_nR^3$ where n and R^3 are as previously defined
 - (iv)-CHO,
 - (v) oxo,
 - (vi)- CO_2R^3 where R^3 is as previously defined
 - (vii)- $C(O)-R^4$ where R^4 is as previously defined
 - (viii) -CN
 - (ix) aryl, and optionally substituted aryl
 - (x) heteroaryl, and optionally substituted heteroaryl
 - (xi) C_3-C_7 -cycloalkyl
 - (xii) C_1-C_{12} -alkyl substituted with heteroaryl
 - (xiii) NR^7R^8 wherein R^7 and R^8 are as previously defined
 - (xiv) $NR^4C(O)R^3$ where R^3 and R^4 are as previously defined
 - (xv) $NR^4C(O)NR^5R^6$ where R^4 , R^5 and R^6 are as previously defined
 - (xvi) $=N-O-R^4$ where R^4 is as previously defined
 - (xvii) $=N-NR^7R^8$ wherein R^7 and R^8 are as previously defined
 - (xviii) $=N-NR^4$ wherein R^4 is as previously defined
 - (xix) $=N-NR^4C(O)R^3$ wherein R^3 and R^4 are as previously defined, and
 - (xx) $=N-NR^4C(O)NR^5R^6$ wherein R^4 , R^5 and R^6 are as previously defined,

- (6) C₂-C₁₀-alkynyl
- (7) C₂-C₁₀-alkynyl substituted with one or more substituents selected from the group consisting of
 - (i) trialkylsilyl
 - (ii) halogen,
 - (iii) -CN
 - (iv) OR⁴ where R⁴ is defined as previously
 - (v) -CHO,
 - (vi) oxo,
 - (vii) -CO₂R³ where R³ is as previously defined
 - (viii) -C(O)NR⁵R⁶ wherein R⁵ and R⁶ are as previously defined
 - (ix) NR⁷R⁸ wherein R⁷ and R⁸ are as previously defined
 - (x) O-S(O)_nR³ where n and R³ are as previously defined
 - (xi) C₃-C₇-cycloalkyl
 - (xii) C₁-C₁₂-alkyl substituted with heteroaryl
 - (xiii) aryl, and optionally substituted aryl
 - (xiv) heteroaryl, and optionally substituted heteroaryl
 - (xv) NR⁴C(O)R³ where R³ and R⁴ are as previously defined
 - (xvi) NR⁴C(O)NR⁵R⁶ where R⁴, R⁵ and R⁶ are as previously defined
 - (xvii) =N-O-R⁴ where R⁴ is as previously defined
 - (xviii) =N-NR⁷R⁸ wherein R⁷ and R⁸ are as previously defined
 - (xix) =N-NR⁴C(O)R³ wherein R³ and R⁴ are as previously defined, and
 - (xx) =N-NR⁴C(O)NR⁵R⁶ wherein R⁴, R⁵ and R⁶ are as previously defined,
- (8) cyclic substituents
 - (i) aryl, and optionally substituted aryl
 - (ii) heteroaryl, and optionally substituted heteroaryl
 - (iii) heterocycloalkyl, and optionally substituted heterocycloalkyl, and
 - (iv) C₃-C₇-cycloalkyl, and optionally substituted C₃-C₇-cycloalkyl, and
- (9) C₁ substituents with the exception of 10-methyl derivatives which are part of the above definitions under (1)
 - (i) -CHO
 - (ii) -CN
 - (iii) CO₂R³ wherein R³ is as previously defined
 - (iv) C(O)NR⁵R⁶ wherein R⁵ and R⁶ are as previously defined
 - (v) C(S)NR⁵R⁶ wherein R⁵ and R⁶ are as previously defined
 - (vi) C(NR⁴)NR⁵R⁶ wherein R⁴, R⁵ and R⁶ are as previously defined

- (vii) $\text{CH}=\text{N}-\text{O}-\text{R}^4$ wherein R^4 is as previously defined
- (viii) $\text{CH}=\text{N}-\text{R}^4$ is wherein R^4 is as previously defined
- (ix) $\text{CH}=\text{N}-\text{NR}^7\text{R}^8$ wherein R^7 and R^8 are as previously defined
- (x) $\text{CH}=\text{N}-\text{NR}^4\text{C}(\text{O})\text{R}^3$ wherein R^3 and R^4 are as previously defined, and
- (xi) $\text{CH}=\text{N}-\text{NR}^4\text{C}(\text{O})\text{NR}^5\text{R}^6$ wherein R^4 , R^5 and R^6 are as previously defined;
 R^1 is selected from the group consisting of
 - (1) H
 - (2) methyl
 - (3) methyl substituted with one or more substituents selected from the group consisting of
 - (i) F
 - (ii) -CN
 - (iii) $-\text{CO}_2\text{R}^{11}$ where R^{11} is C_1 - C_3 -alkyl or aryl substituted C_1 - C_3 -alkyl, or heteroalkyl substituted C_1 - C_3 -alkyl
 - (iv) $-\text{C}(\text{O})\text{NR}^5\text{R}^6$ wherein R^5 and R^6 are defined as previously
 - (v) aryl, and optionally substituted aryl, and
 - (vi) heteroaryl, and optionally substituted heteroaryl
- (4) C_2 - C_{10} -alkyl
- (5) substituted C_2 - C_{10} -alkyl with one or more substituents selected from the group consisting of
 - (i) halogen,
 - (ii) OR^4 where R^4 is defined as previously
 - (iii) C_1 - C_3 -alkoxy- C_1 - C_3 -alkoxy
 - (iv) -CHO
 - (v) oxo
- (vi) NR^7R^8 wherein R^7 and R^8 are as previously defined
- (vii) $=\text{N}-\text{O}-\text{R}^4$ where R^4 is as previously defined
- (viii) -CN
- (ix) $-\text{S}(\text{O})_n\text{R}^3$ where $n = 0, 1, \text{ or } 2$ and R^3 is as previously defined
- (x) aryl, and optionally substituted aryl
- (xi) heteroaryl, and optionally substituted heteroaryl
- (xii) C_3 - C_8 -cycloalkyl, and optionally substituted C_3 - C_8 -cycloalkyl
- (xiii) C_1 - C_{12} -alkyl substituted with heteroaryl, and optionally substituted heteroaryl
- (xiv) heterocycloalkyl
- (xv) $\text{NHC}(\text{O})\text{R}^3$ where R^3 is as previously defined
- (xvi) $\text{NHC}(\text{O})\text{NR}^5\text{R}^6$ where R^5 and R^6 are as previously defined
- (xvii) $=\text{N}-\text{NR}^7\text{R}^8$ wherein R^7 and R^8 are as previously defined

- (xviii) $=N-R^4$ wherein R^4 as previously defined, and
- (xix) $=N-NHC(O)R^3$ wherein R^3 is as previously defined,
- (4) C_1-C_{10} -alkenyl substituted with one or more substituents selected from the group consisting of
 - (i) halogen,
 - (ii) OR^4 where R^4 is as previously defined
 - (iii)-CHO
 - (iv) oxo
 - (v) $-S(O)_nR^3$ where n and R^3 are as previously defined
 - (vi) -CN
 - (vii) $-CO_2R^3$ where R^3 is as previously defined
 - (viii) NR^7R^8 wherein R^7 and R^8 are as previously defined
 - (ix) $=N-O-R^4$ where R^4 is as previously defined
 - (x) $-C(O)-R^4$ where R^4 is as previously defined
 - (xi) $-C(O)NR^5R^6$ wherein R^5 and R^6 are as previously defined
 - (xii)aryl, and optionally substituted aryl
 - (xiii) heteroaryl, and optionally substituted heteroaryl
 - (xiv) C_3-C_7 -cycloalkyl
 - (xv) C_1-C_{12} -alkyl substituted with heteroaryl
 - (xvi) $NHC(O)R^3$ where R^3 is as previously defined
 - (xvii) $NHC(O)NR^5R^6$ where R^5 and R^6 are as previously defined
 - (xviii) $=N-NR^7R^8$ wherein R^7 and R^8 are as previously defined
 - (xix) $=N-R^4$ wherein R^4 is as previously defined,
 - (xx) $=N-NHC(O)R^3$ wherein R^3 is as previously defined, and
 - (xxi) $=N-NHC(O)NR^5R^6$ wherein R^5 and R^6 are as previously defined,
- (5) C_2-C_{10} -alkynyl, and
- (6) C_2-C_{10} -alkynyl substituted with one or more substituents selected from the group consisting of
 - (i) halogen,
 - (ii) OR^4 where R^4 is defined as previously
 - (iii)-CHO
 - (iv) oxo
 - (v) $-CO_2R^3$ where R^3 is as previously defined
 - (vi) $-C(O)NR^5R^6$ wherein R^5 and R^6 are as previously defined
 - (vii) -CN
 - (viii) NR^7R^8 wherein R^7 and R^8 are as previously defined
 - (ix) $=N-O-R^4$ where R^4 is as previously defined

- (x) $-S(O)_nR^3$ where n and R^3 are as previously defined
 - (xi) aryl, and optionally substituted aryl
 - (xii) heteroaryl, and optionally substituted heteroaryl
 - (xiii) C_3 - C_7 -cycloalkyl
 - (xiv) C_1 - C_{12} -alkyl substituted with heteroaryl
 - (xv) $NHC(O)R^3$ where R^3 is as previously defined
 - (xvi) $NHC(O)NR^5R^6$ where R^5 and R^6 are as previously defined
 - (xvii) $=N-NR^7R^8$ wherein R^7 and R^8 are as previously defined
 - (xviii) $=N-R^4$ wherein R^4 is as previously defined
 - (xix) $=N-NHC(O)R^3$ wherein R^3 is as previously defined, and
 - (xx) $=N-NHC(O)NR^5R^6$ wherein R^5 and R^6 are as previously defined;
- R^2 is selected from the group consisting of

- (1) hydrogen
 - (2) OH
 - (3) OR^3 where R^3 is as previously defined
 - (4) $OC(O)R^3$ where R^3 is as previously defined, and
 - (5) $O(CO)OR^3$ where R^3 is as previously defined;
- and X and Y taken together are selected from the group consisting of

- (1) O
- (2) NOR^4 wherein R^4 is as defined previously
- (3) $N-O C(R^9)(CR^{10})-O-R^4$ where R^4 is as previously defined and
- (i) R^9 and R^{10} are each independently defined as R^4 , or
 - (ii) R^9 and R^{10} are taken together with the atom to which they are attached form a C_3 - C_{12} cycloalkyl ring,
- (4) NR^4 wherein R^4 is as previously defined, and
- (5) $N-NR^7R^8$ wherein R^7 and R^8 are as previously defined, or one of X and Y is hydrogen and the other is selected from the group consisting of
 - (1) $-OR^4$ wherein R^4 is as previously defined, and
 - (2) $-NR^7R^8$ wherein R^7 and R^8 are as previously defined.

R^P is selected from the group consisting of

- (1) hydrogen
- (2) R^3 as previously defined
- (3) COR^3 where R^3 is as previously defined;

subject to the proviso that when the structure is IV, Z and M are part of a five- or six- membered ring, said rings optionally being fully or partially unsaturated; for the six- membered ring, the bonding between Z and M is through a carbonyl group; for the five- membered ring, the bonding

is directly between Z and M excluding CO; Z and M are independently selected from the group consisting of carbon, oxygen or N; and when M = N a second bridge may exist between this nitrogen and the oxygen of the 12-OH group whereby either an additional annulated oxazole or oxazine ring constitutes part of the molecule; and subject to the proviso that when the structure is V, Z and M are part of a five- or six- membered ring, said rings optionally being fully saturated or fully or partially unsaturated; for the six-membered ring, the bonding between Z and M is through a carbonyl group; for the five-membered ring, the bonding is directly between Z and M excluding CO; Z and M are independently selected from the group consisting of carbon, oxygen or nitrogen; and when M = N a second bridge may exist between this nitrogen and the urethane nitrogen; wherein aryl groups have 5 to 10 ring atoms, and heteroaryl groups have 5 to 10 ring atoms including C and at least one of N, O or S.

7. (Currently Amended) A pharmaceutical composition comprising an antibiotic 10-desmethyl macrolide of claim 64 and a pharmaceutical excipient.
8. (Cancelled)
9. (Currently Amended) A method of treatment of a human or animal subject to combat bacterial infection thereof, which method comprises administering to said subject an antibiotic 10-desmethyl macrolide of claim 64.
10. (Cancelled)